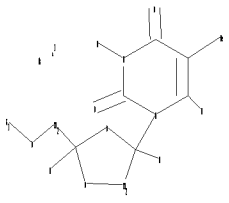
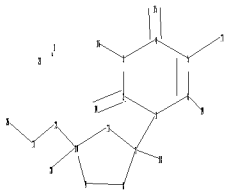
```
     FILE 'REGISTRY' ENTERED AT 11:13:48 ON 27 OCT 2008
L1              STRUCTURE UPLOADED
L2            2 S L1
L3           54 S L1 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 11:14:30 ON 27 OCT 2008
L4           38 S L3
L5           26 S L4 AND (PY<2003 OR AY<2003 OR PRY<2003)
L6      1593959 S RESISTANT OR RESISTANCE OR XXBRU OR K65R OR M184V OR L74V OR
L7            3 S L5 AND L6
```

```
=> file registry
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 11:13:48 ON 27 OCT 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   24 OCT 2008  HIGHEST RN 1065816-63-8
DICTIONARY FILE UPDATES:  24 OCT 2008  HIGHEST RN 1065816-63-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10530088dioxolane.str
```





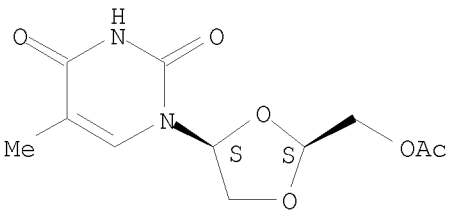
chain nodes :
12 13 14 15 16 17 18 19 20 21 26
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
1-7 2-14 3-16 4-15 5-17 6-19 7-18 10-12 10-20 12-13 13-26
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11
exact/norm bonds :
1-2 1-6 1-7 2-3 2-14 3-4 4-5 4-15 5-6 7-8 7-11 8-9 9-10 10-11 13-26

exact bonds :
3-16 5-17 6-19 7-18 10-12 10-20 12-13

G1:H,P,C(O)CH3,[*1]

Connectivity :
21:1 X maximum RC ring/chain

```
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:CLASS  13:CLASS  14:CLASS  15:CLASS  16:CLASS  17:CLASS  18:CLASS
19:CLASS  20:CLASS
21:CLASS  26:CLASS
Generic attributes :
21:
Saturation             : Saturated

Element Count :
Node 21: Limited
    C,C1-20




L1      STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 11:14:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        5 TO ITERATE

100.0% PROCESSED        5 ITERATIONS                                2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:             5 TO      234
PROJECTED ANSWERS:                2 TO      124

L2            2 SEA SSS SAM L1

=> dl2 scan
DL2 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d l2 scan

L2   2 ANSWERS   REGISTRY  COPYRIGHT 2008 ACS on STN
IN   2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-[(acetyloxy)methyl]-1,3-dioxolan-
     4-yl]-5-methyl-, rel-
MF   C11 H14 N2 O6

Relative stereochemistry.
```

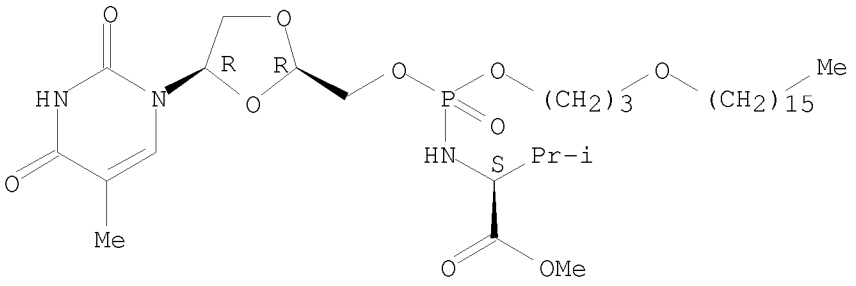


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN L-Valine, N-[[[(2R,4R)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methoxy][3-(hexadecyloxy)propoxy]phosphinyl]-, methyl ester
MF C34 H62 N3 O10 P

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s l1 sss full
FULL SEARCH INITIATED 11:14:26 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 243 TO ITERATE

100.0% PROCESSED 243 ITERATIONS 54 ANSWERS
SEARCH TIME: 00.00.01

L3 54 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	178.36	178.57

FILE 'HCAPLUS' ENTERED AT 11:14:30 ON 27 OCT 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 27 Oct 2008 VOL 149 ISS 18
FILE LAST UPDATED: 26 Oct 2008 (20081026/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

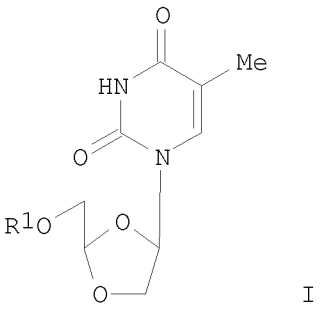
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 38 L3

=> s l4 and (PY<2003 or AY<2003 or PRY<2003)
22959098 PY<2003
4498735 AY<2003
3967368 PRY<2003
L5 26 L4 AND (PY<2003 OR AY<2003 OR PRY<2003)

=> d l5 1-26 ti abs bib hitstr

L5 ANSWER 1 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Dioxolane thymine and combinations for use against 3TC/AZT resistant strains of HIV
GI



I

AB The present invention relates to the use of a dioxolane thymine compound according to the chemical structure of Formula (I): where R1 is H, an acyl group, a C1-C20 alkyl or ether group, a phosphate, diphosphate, triphosphate or phosphodiester group, for use in the treatment of HIV infections which exhibit resistance to 3TC and/or AZT. Preferably, compds. according to the present invention are combined with at least one anti-HIV agent which inhibits HIV by a mechanism other than through the inhibition of thymidine kinase (TK). These agents include those selected from among nucleoside reverse transcriptase inhibitors (NRTI), non-nucleoside reverse transcriptase inhibitors, protease inhibitors, fusion inhibitors, among others. These agents are generally selected from the group consisting of 3TC (Lamivudine), AZT (Zidovudine), (-)-FTC, ddI

(Didanosine), ddC (zalcitabine), abacavir (ABC), tenofovir (PMPA), D-D4FC (Reverset), D4T (Stavudine), Racivir, L-D4FC, NVP (Nevirapine), DLV (Delavirdine), EFV (Efavirenz), SQVM (Saquinavir mesylate), RTV (Ritonavir), IDV (Indinavir), SQV (Saquinavir), NFV (Nelfinavir), APV (Amprenavir), LPV (Lopinavir), fuseon and mixts. thereof. The TK dependent agents, such as AZT and D4T, may be used in combination with one of the dioloxane thymine compds. according to the present invention, but the use of such agents may be less preferred. In preferred compns. according to the present invention, R1 is preferably H or a C2-C18 acyl group or a monophosphate group. Pharmaceutical compns. and methods of reducing the likelihood that a patient at risk for contract an HIV infection will contract the infection are other aspects of the present invention.

AN 2004:513490 HCAPLUS <<LOGINID::20081027>>

DN 141:65057

TI Dioxolane thymine and combinations for use against 3TC/AZT resistant strains of HIV

IN Chu, Chung K.; Schinazi, Raymond F.

PA The University of Georgia Research Foundation, Inc., USA; Emory University

SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004052296	A2	20040624	WO 2003-US39029	20031208 <--
	WO 2004052296	A3	20040923		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2502625	A1	20040624	CA 2003-2502625	20031208 <--
	AU 2003296360	A1	20040630	AU 2003-296360	20031208 <--
	EP 1569659	A2	20050907	EP 2003-812874	20031208 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003017113	A	20051025	BR 2003-17113	20031208 <--
	CN 1723025	A	20060118	CN 2003-80105479	20031208 <--
	US 20050209196	A1	20050922	US 2005-530088	20050401 <--
	MX 2005PA03637	A	20050816	MX 2005-PA3637	20050405 <--
	IN 2005KN00698	A	20060224	IN 2005-KN698	20050421 <--
PRAI	US 2002-431812P	P	20021209 <--		
	WO 2003-US39029	W	20031208		

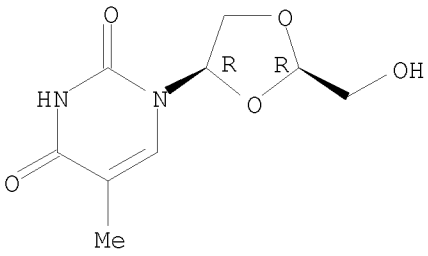
OS MARPAT 141:65057

IT 136982-89-3 136982-89-3D, derivs.
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dioxolane thymine and combinations for use against 3TC/AZT resistant strains of HIV)

RN 136982-89-3 HCAPLUS

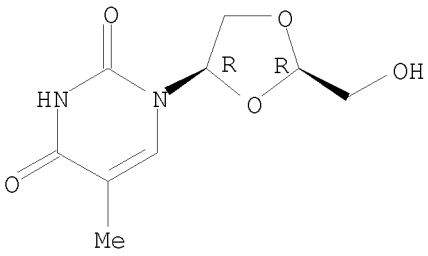
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

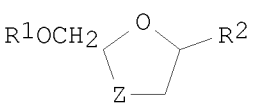


RN 136982-89-3 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

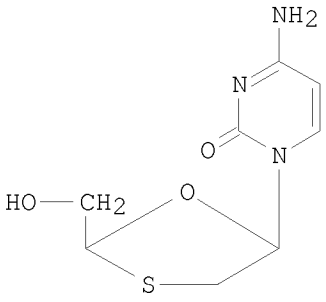
Absolute stereochemistry. Rotation (-).



L5 ANSWER 2 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Preparation of substituted-1,3-oxathiolane and substituted-1,3-dioxolane nucleosides with antiviral properties
GI



I



II

AB Disclosed are compds. I wherein R1 is hydrogen or an acyl group having 1 to 16 carbon atoms; R2 is a purine or pyrimidine base or an analog or derivative thereof; Z is O, S, S=O or SO2; and pharmaceutically acceptable derivs. thereof. Also described are processes for and intermediates of use in their preparation, pharmaceutical compns. containing these compds., and the

use of these compds. in the antiviral treatment of mammals. Thus, oxathiolane nucleoside II was prepared and tested for its anti-HIV-1 activity in MT-4 cells (EC50 = 87.4 μg/mLM).

AN 2001:658075 HCAPLUS <<LOGINID::20081027>>

DN 135:211232

TI Preparation of substituted-1,3-oxathiolane and substituted-1,3-dioxolane nucleosides with antiviral properties

IN Belleau, Bernard; Belleau, Pierette; Nguyen-ba, Nghe

PA Can.

SO U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S. Ser. No. 306,830. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20010020026	A1	20010906	US 1998-172848	19981015 <--
	US 6350753	B1	20020226	US 1998-163374	19980930 <--
	US 20030087918	A1	20030508	US 2002-73116	20020212 <--
	US 6903224	B2	20050607		
	US 20040254201	A1	20041216	US 2004-887182	20040709 <--
	US 7122693	B2	20061017		
	US 20070037977	A1	20070215	US 2006-502602	20060811 <--
PRAI	US 1990-564160	B1	19900807 <--		
	US 1994-306830	A2	19940915 <--		
	US 1988-179615	B1	19880411 <--		
	US 1989-308101	A2	19890208 <--		
	US 1990-546676	A2	19900629 <--		
	US 1991-666045	A3	19910307 <--		
	US 1995-468362	A1	19950606 <--		
	US 1998-163374	A1	19980930 <--		
	US 2002-73116	A1	20020212 <--		

OS MARPAT 135:211232

IT 126652-15-1P 127658-07-5P

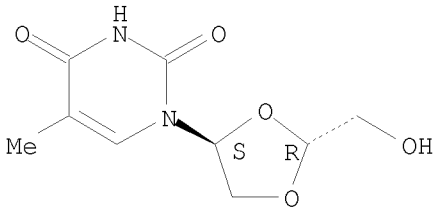
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of substituted-1,3-oxathiolane and substituted-1,3-dioxolane nucleosides with antiviral properties)

RN 126652-15-1 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

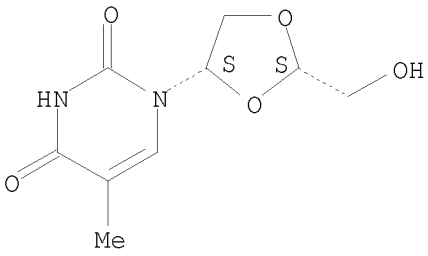
Relative stereochemistry.



RN 127658-07-5 HCAPLUS

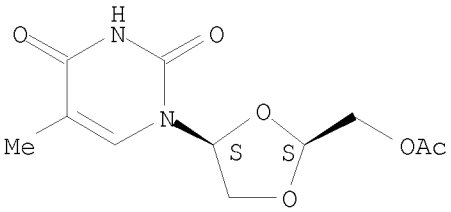
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



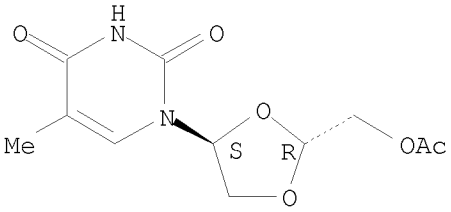
IT 126652-45-7P 126652-46-8P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted-1,3-oxathiolane and substituted-1,3-dioxolane nucleosides with antiviral properties)
RN 126652-45-7 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 126652-46-8 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S)-2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



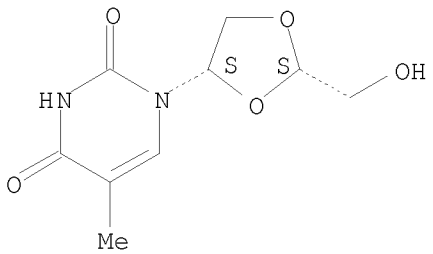
L5 ANSWER 3 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Method for the treatment or prevention of Flaviviridae viral infection using nucleoside analogs
AB A method is provided for treating or preventing a Flaviviridae viral infection in a host comprising administering a therapeutically effective amount of at least one nucleoside analog (Markush included). Preparation of nucleoside analogs is described.
AN 2001:338330 HCAPLUS <<LOGINID::20081027>>

DN 134:348243
TI Method for the treatment or prevention of Flaviviridae viral infection using nucleoside analogs
IN Storer, Richard
PA Biochem Pharma Inc., Can.
SO PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001032153	A2	20010510	WO 2000-CA1316	20001103 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2389745	A1	20010510	CA 2000-2389745	20001103 <--
	EP 1225899	A2	20020731	EP 2000-974218	20001103 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 6566365	B1	20030520	US 2000-704832	20001103 <--
	US 20030225037	A1	20031204	US 2003-397167	20030327 <--
PRAI	US 1999-163394P	P	19991104 <--		
	US 1999-163405P	P	19991104 <--		
	US 2000-704832	A3	20001103 <--		
	WO 2000-CA1316	W	20001103 <--		

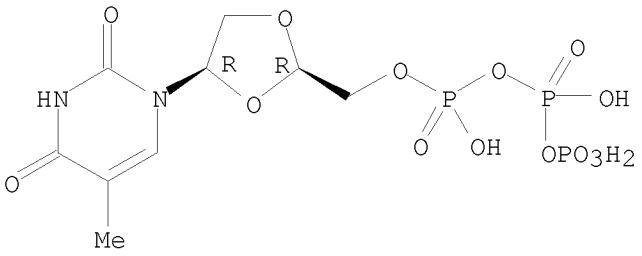
OS MARPAT 134:348243
IT 145414-65-9 338946-54-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nucleoside analogs for treatment or prevention of Flaviviridae viral infection)
RN 145414-65-9 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,4S)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

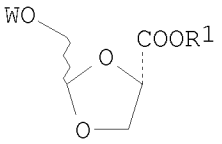


RN 338946-54-6 HCAPLUS
CN Triphosphoric acid, P-[[(2R,4R)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl] ester, rel-(+)- (9CI) (CA INDEX NAME)

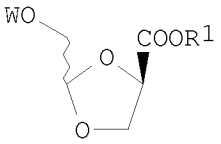
Rotation (+). Absolute stereochemistry unknown.



L5 ANSWER 4 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Stereoselective synthesis of nucleoside analogues
GI



I



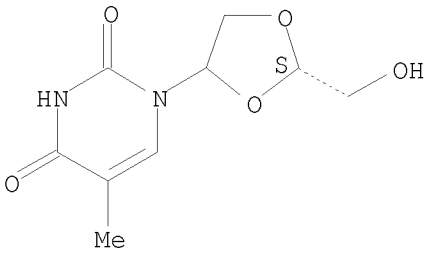
II

AB The present invention provides a process for making stereochem. pure dioxolane nucleoside analogs. The process includes the use of hydrolytic enzymes for separating β and α anomers from an anomeric mixture represented by formula (I) or formula (II) wherein W is benzyl or benzoyl and R1 is selected from the group consisting of C1-6 alkyl and C6-15 aryl.
AN 2000:573953 HCAPLUS <<LOGINID::20081027>>
DN 133:163121
TI Stereoselective synthesis of nucleoside analogues
IN Cimpoia, Alex; Janes, Lana; Kazlauskas, Romas
PA Biochem Pharma Inc., Can.
SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000047759	A1	20000817	WO 2000-CA144	20000211 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2362570	A1	20000817	CA 2000-2362570	20000211 <--
EP 1151133	A1	20011107	EP 2000-904756	20000211 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

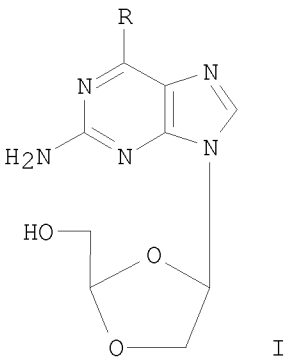
JP 2002538780 T 20021119 JP 2000-598654 20000211 <--
PRAI US 1999-119756P P 19990211 <--
US 1999-119885P P 19990212 <--
WO 2000-CA144 W 20000211 <--
OS MARPAT 133:163121
IT 288162-86-7P
RL: BPN (Biosynthetic preparation); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(stereoselective synthesis of nucleoside analogs)
RN 288162-86-7 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Preparation of enantiomerically pure β-D-dioxolane nucleosides as virucides
GI



I

AB A method and composition for the treatment of humans infected with HIV that includes the administration of an HIV treatment amount of an enantiomerically pure β-D-dioxolanyl purine nucleosides I wherein R is OH, Cl, NH2, or H, or a pharmaceutically acceptable salt or derivative of the compound, optionally in a pharmaceutically acceptable carrier or diluent. Thus, I (R = OH) was prepared and tested in human peripheral blood

mononuclear cells for its antiviral activity (EC50 = 0.03μM). The toxicity of the compds. were evaluated in uninfected human PBM cells and showed no toxicity at a concentration of 100 μM.

AN 1999:450894 HCAPLUS <<LOGINID::20081027>>

DN 131:88137

TI Preparation of enantiomerically pure β-D-dioxolane nucleosides as virucides

IN Chu, Chung K.

PA Emory University, USA

SO U.S., 15 pp.
CODEN: USXXAM

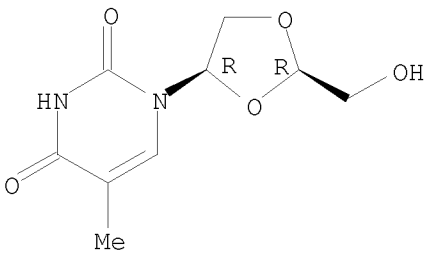
DT Patent

LA English

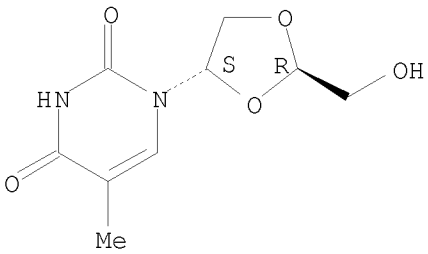
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5925643	A	19990720	US 1992-935515	19920825 <--
	US 5179104	A	19930112	US 1990-622762	19901205 <--
	CA 2590125	A1	19920625	CA 1991-2590125	19911205 <--
	EP 1164133	A2	20011219	EP 2001-203571	19911205 <--
	EP 1164133	A3	20020102		
	EP 1164133	B1	20070801		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC				
	EP 1600448	A2	20051130	EP 2005-75365	19911205 <--
	EP 1600448	A3	20060823		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC				
	EP 1693373	A1	20060823	EP 2005-77620	19911205 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC				
	AT 368660	T	20070815	AT 2001-203571	19911205 <--
	ES 2291268	T3	20080301	ES 2001-203571	19911205 <--
	US 5444063	A	19950822	US 1992-967460	19921028 <--
	WO 9404154	A1	19940303	WO 1993-US8044	19930825 <--
	W: AU, CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9350933	A	19940315	AU 1993-50933	19930825 <--
	AU 670637	B2	19960725		
	EP 656778	A1	19950614	EP 1993-920366	19930825 <--
	EP 656778	B1	20010530		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 08501086	T	19960206	JP 1994-506616	19930825 <--
	JP 3519736	B2	20040419		
	EP 1081148	A2	20010307	EP 2000-203932	19930825 <--
	EP 1081148	A3	20030305		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, RO				
	ES 2157929	T3	20010901	ES 1993-920366	19930825 <--
	PT 656778	T	20010928	PT 1993-920366	19930825 <--
	JP 2002114787	A	20020416	JP 2001-251947	19930825 <--
	CA 2143107	C	20041123	CA 1993-2143107	19930825 <--
	US 5684010	A	19971104	US 1995-471533	19950606 <--
	US 5767122	A	19980616	US 1995-469465	19950606 <--
	AU 9716640	A	19970717	AU 1997-16640	19970327 <--
	AU 714646	B2	20000106		
	US 5830898	A	19981103	US 1997-838072	19970415 <--
	US 5834474	A	19981110	US 1997-839713	19970415 <--
	JP 2001097973	A	20010410	JP 2000-246125	20000815 <--
	JP 3881165	B2	20070214		
	GR 3036393	T3	20011130	GR 2001-401249	20010814 <--
	AU 2003200421	A1	20030410	AU 2003-200421	20030207 <--
	AU 2003200421	B2	20040408		
	JP 2004149543	A	20040527	JP 2003-414876	20031212 <--

```
     JP 2007008959         A     20070118    JP 2006-263009         20060927 <--
PRAI US 1990-622762        A2    19901205  <--
     CA 1991-2099589       A3    19911205  <--
     EP 1992-902800        A3    19911205  <--
     EP 2001-203571        A3    19911205  <--
     JP 1992-502956        A3    19911205  <--
     US 1992-935515        A2    19920825  <--
     US 1992-967460        A3    19921028  <--
     AU 1993-50933         A3    19930825  <--
     EP 1993-920366        A3    19930825  <--
     JP 1994-506616        A3    19930825  <--
     WO 1993-US8044        W     19930825  <--
     US 1995-471533        A3    19950606  <--
     JP 2000-246125        A3    20000815  <--
OS   MARPAT 131:88137
IT   136982-89-3P 136982-90-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of enantiomerically pure dioxolane nucleosides as virucides)
RN   136982-89-3  HCAPLUS
CN   2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-
     yl]-5-methyl-  (CA INDEX NAME)

Absolute stereochemistry.  Rotation (-).
```




```
RN   136982-90-6  HCAPLUS
CN   2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S)-2-(hydroxymethyl)-1,3-dioxolan-4-
     yl]-5-methyl-  (CA INDEX NAME)

Absolute stereochemistry.  Rotation (+).
```




```
RE.CNT  63    THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5   ANSWER 6 OF 26  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Stereochemistry of 1,3-diheterocyclopentanes: I. Configuration of
```

2,4-disubstituted 1,3-dioxolanes by x-ray diffraction and nuclear magnetic resonance data

AB Single-crystal x-ray diffraction was used to determine relative and absolute configuration for (2S,4S)-4-(tert-butylaminomethyl)-2-phenyl-1,3-dioxolane. The 1H and 13C NMR spectra of the cis and trans isomers of this compound and of other 2,4-disubstituted 1,3-dioxolanes were correlated with the x-ray relative configuration. Criteria for determining relative configurations of such heterocycles were refined.

AN 1999:278359 HCAPLUS <<LOGINID::20081027>>

DN 131:4903

TI Stereochemistry of 1,3-diheterocyclopentanes: I. Configuration of 2,4-disubstituted 1,3-dioxolanes by x-ray diffraction and nuclear magnetic resonance data

AU Bredikhin, A. A.; Novikova, V. G.; Bredikhina, Z. A.; Gubaidullin, A. T.; Litvinov, I. A.

CS Arbuzov Institute of Organic and Physical Chemistry, Kazan Scientific Center, Russian Academy of Sciences, Kazan, Tatarstan, Russia

SO Russian Journal of General Chemistry (Translation of Zhurnal Obshchei Khimii) (1998), 68(11), 1764-1767
CODEN: RJGCEK; ISSN: 1070-3632

PB MAIK Nauka/Interperiodica Publishing

DT Journal

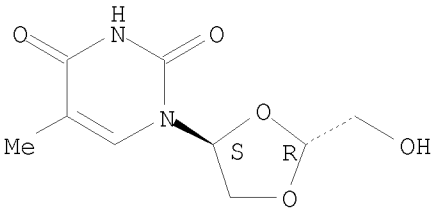
LA English

IT 126652-15-1 127658-07-5
RL: PRP (Properties)
(configuration of 2,4-disubstituted 1,3-dioxolanes by x-ray diffraction and NMR)

RN 126652-15-1 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

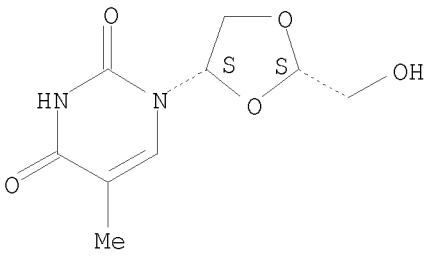
Relative stereochemistry.



RN 127658-07-5 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



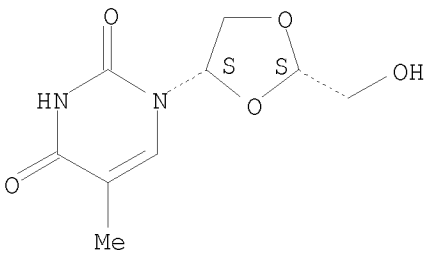
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Preparation of antiviral 1,3-dioxolane nucleoside analogs
AB This invention includes the compds. 2'-deoxy-5-fluoro-3'-oxacytidines and pharmaceutically acceptable salts thereof for use in medical therapy, for example for the treatment or prophylaxis of an HIV infection (EC50 = 0.013-0.027 μM) with cytotoxicity of (IC50 < 1 μM).
AN 1999:17124 HCAPLUS <<LOGINID::20081027>>
DN 130:66736
TI Preparation of antiviral 1,3-dioxolane nucleoside analogs
IN Liotta, Dennis C.; Schinazi, Raymond F.; Choi, Woo-baeg
PA Emory University, USA
SO U.S., 16 pp., Cont.-in-part of U.S. 5,210,085.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5852027	A	19981222	US 1993-150012	19931109 <--
	US 5210085	A	19930511	US 1991-659760	19910222 <--
	US 5276151	A	19940104	US 1991-803028	19911206 <--
	WO 9214729	A1	19920903	WO 1992-US1393	19920221 <--
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	AU 715577	B3	20000203	AU 1999-59571	19991119 <--
	AU 2002300661	A1	20030220	AU 2002-300661	20020820 <--
	AU 2002300661	B2	20060608		
PRAI	US 1991-659760	A2	19910222 <--		
	US 1991-736089	B2	19910726 <--		
	US 1991-803028	A2	19911206 <--		
	WO 1992-US1393	W	19920221 <--		
	US 1990-473318	A2	19900201 <--		
	US 1993-15992	A	19930210 <--		
	AU 1999-44745	A3	19990826 <--		

IT 127658-07-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antiviral dioxolane nucleoside analogs)
RN 127658-07-5 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

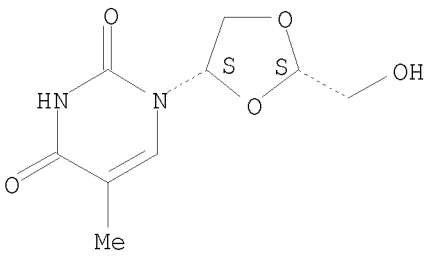
L5 ANSWER 8 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Synthesis and antiviral activity of 2'-deoxy-5-fluoro-3'-thiacytidine and nucleoside analogs
AB The present invention relates to a method of preparing the antiviral compds. 2'-deoxy-5-fluoro-3'-thiacytidine (FTC) and various prodrug analogs of FTC from inexpensive precursors with the option of introducing functionality as needed; methods of using these compds., particularly in the prevention and treatment of AIDS; and the compds. themselves. This synthetic route allows the stereoselective preparation of the biol. active isomer of these compds. and related compds. Thus, 2'-deoxy-5-fluoro-3'-thiacytidine was prepared and showed anti-HIV activity (EC50 = 0.011 μM) and cytotoxicity (IC50 > 100 μM) in human PBM cells.
AN 1998:15591 HCAPLUS <<LOGINID::20081027>>
DN 128:75640
OREF 128:14803a,14806a
TI Synthesis and antiviral activity of 2'-deoxy-5-fluoro-3'-thiacytidine and nucleoside analogs
IN Liotta, Dennis C.; Schinazi, Raymond F.; Choi, Woo-baeg
PA Emory University, USA
SO U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 402,730.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5700937	A	19971223	US 1995-481556	19950607 <--
	US 5204466	A	19930420	US 1990-473318	19900201 <--
	CA 2481078	A1	19910808	CA 1991-2481078	19910131 <--
	EP 872237	A1	19981021	EP 1998-201737	19910131 <--
	EP 872237	B1	20070117		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 2001019690	A	20010123	JP 2000-160358	19910131 <--
	JP 2002012591	A	20020115	JP 2001-151618	19910131 <--
	JP 3530150	B2	20040524		
	EP 1772151	A2	20070411	EP 2006-77328	19910131 <--
	EP 1772151	A3	20070613		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 5210085	A	19930511	US 1991-659760	19910222 <--
	US 6703396	B1	20040309	US 1995-402730	19950313 <--
	US 5914400	A	19990622	US 1995-472345	19950607 <--
	US 6153751	A	20001128	US 1999-337910	19990622 <--
	AU 9944745	A	19991111	AU 1999-44745	19990826 <--
	AU 715577	B3	20000203	AU 1999-59571	19991119 <--
	JP 2001352997	A	20011225	JP 2001-151617	20010521 <--
	JP 3844978	B2	20061115		
	AU 2002300661	A1	20030220	AU 2002-300661	20020820 <--
	AU 2002300661	B2	20060608		
	JP 2005053893	A	20050303	JP 2004-146115	20040517 <--
	JP 4108645	B2	20080625		
	JP 2006141408	A	20060608	JP 2006-33782	20060210 <--
	AU 2006207874	A1	20060928	AU 2006-207874	20060907 <--
PRAI	US 1990-473318	A2	19900201 <--		
	US 1991-659760	A2	19910222 <--		
	US 1991-736089	B1	19910726 <--		
	US 1993-92248	B1	19930715 <--		
	US 1995-402730	A2	19950313 <--		
	AU 1991-73004	A3	19910131 <--		

CA 1991-2075189	A3	19910131	<--
EP 1991-904454	A3	19910131	<--
EP 1998-201737	A3	19910131	<--
JP 1991-504897	A3	19910131	<--
GB 1991-4741	A	19910306	<--
GB 1991-9505	A	19910502	<--
US 1993-15992	A1	19930210	<--
US 1994-215498	B1	19940321	<--
US 1995-472345	A1	19950607	<--
AU 1999-44745	A3	19990826	<--
JP 2001-151617	A3	20010521	<--
AU 2002-300661	A3	20020820	<--

IT 127658-07-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antiviral activity of 2'-deoxy-5-fluoro-3'-thiacytidine and nucleoside analogs)
RN 127658-07-5 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

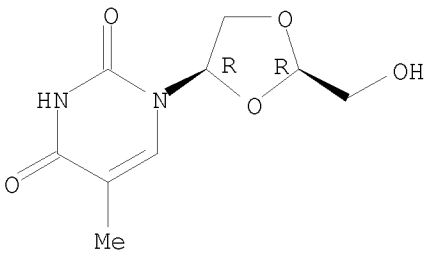


L5 ANSWER 9 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Effects of modifications in the pentose moiety and conformational changes on the binding of nucleoside ligands to uridine phosphorylase from Toxoplasma gondii
AB One hundred and fifty analogs of uridine, with various modifications to the uracil and pentose moieties, have been tested and compared with uridine with respect to their potency to bind to uridine phosphorylase (UrdPase, EC 2.4.2.3) from Toxoplasma gondii. The effects of the α- and β-anomers, the L- and D-enantiomers, as well as restricted syn and anti rotamers, on binding were examined Pseudo-, lyxo-, 2,3'-anhydro-2'-deoxy-, 6,5'-cyclo-, 6,3'-methano-, O5',6-methano- and carbocyclic uridines did not bind to the enzyme. Ribosides bound better than the corresponding xylosides, which were better than the deoxyribosides. The binding of deoxyribosides was in the following manner: 2',3'-dideoxynucleosides > 2',5'-dideoxynucleosides > 2'-deoxyribosides > 3'- and 5'-deoxyribosides. The α-2'-deoxyribosides bound to the enzyme, albeit less tightly than the corresponding β-anomers. The acyclo- and 2,2'-anhydrouridines bound strongly, with the 2,2'-anhydro-derivs. being the better ligands. 2,5'-Anhydrouridine bound to UrdPase less effectively than 2,2'-anhydrouridine and acylouridine,. Arabinosyluracil was at best a very poor ligand, but bound better if a benzyl group was present at the 5-position of the pyrimidine ring. This binding was enhanced further by adding a 5-benzyloxybenzyl group. A similar enhancement of the binding by

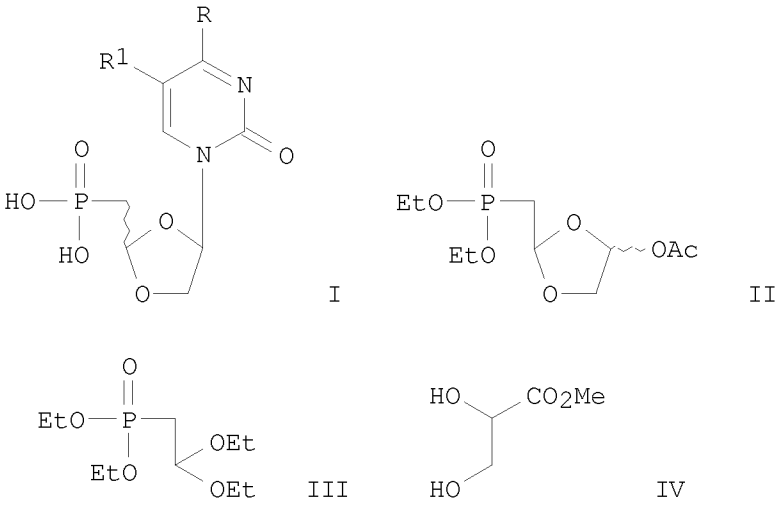
increased hydrophobicity at the 5-position of the pyrimidine ring was observed with ribosides, α- and β-anomers of the 2'-deoxyribosides, acyclonucleosides, and 2,2'-anhydronucleosides. Among all the compds. tested, 5-(benzyloxybenzyl)-2,2'-anhydrouridine was identified as the best ligand of T. gondii UrdPase with an apparent Ki value of 60 ± 3 nM. It is concluded that the presence of an N-glycosyl bond is a prerequisite for a nucleoside ligand to bind to T. gondii UrdPase. On the other hand, the presence of a 2'-, 3'-, or 5'-hydroxyl group, or an N-glycosyl bond in the β-configuration, enhanced but was not essential for binding. Furthermore, the potency of the binding of 2,2'-anhydrouridines (fixed high syn isomers), and the complete lack of binding of the 6,5'-cyclo, O5',6-methano- and 6,3'-methanouridines (fixed anti isomers), and the complete lack of binding of the 6,5'-cyclo, O5',6-methano- and 6,3'-methanouridines (fixed anti isomers) to T. gondii UrdPase indicate that the binding of ligands to this enzyme is in the syn/high syn conformation around the N-glycosyl bond. The results also indicate that the parasite but not the mammalian host UrdPase can participate in hydrogen bonding with N3 of the pyrimidine ring of nucleoside ligands. T. gondii UrdPase also has a larger hydrophobic pocket adjacent to the C5 of the pyrimidine moiety than the host enzyme, and can accommodate modifications in the pentose moiety which cannot be tolerated by the host enzyme. Most prominent among these modifications is the absence and/or lack of the ribo orientation of the 3'-hydroxyl group, which is a requirement for a ligand to bind to mammalian UrdPase. These differences between the parasite and host enzymes can be useful in designing specific inhibitors or subversive substrates for T. gondii UrdPase.

AN 1996:341254 HCAPLUS <<LOGINID::20081027>>
DN 125:108579
OREF 125:20207a,20210a
TI Effects of modifications in the pentose moiety and conformational changes on the binding of nucleoside ligands to uridine phosphorylase from Toxoplasma gondii
AU el Kouni, Mahmoud H.; Naguib, Fardos N. M.; Panzica, Raymond P.; Otter, Brian A.; Chu, Shih-Hsi; Gosselin, Gilles; Chu, Chung K.; Schinazi, Raymond F.; Shealy, Y. Fulmer; et al.
CS Dep. Pharmacol. Toxicol., Univ. Alabama Birmingham, Birmingham, AL, 35294, USA
SO Biochemical Pharmacology (1996), 51(12), 1687-1700
CODEN: BCPCA6; ISSN: 0006-2952
PB Elsevier
DT Journal
LA English
IT 136982-89-3
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(effects of modifications in the pentose moiety and conformational changes on the binding of nucleoside ligands to uridine phosphorylase from Toxoplasma gondii)
RN 136982-89-3 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



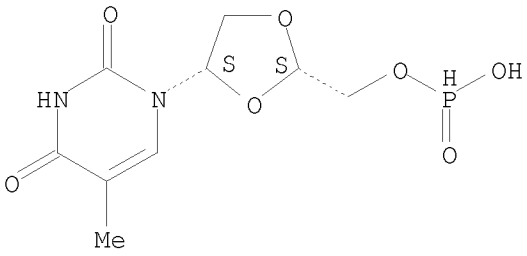
L5 ANSWER 10 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Synthesis of racemic 2-phosphonomethyl-1,3-dioxolane nucleoside analogs as potential antiviral agents
GI



AB 2-Phosphonomethyl-1,3-dioxolane nucleosides, e.g. I (R = OH, R1 = Me; R = NH2, R1 = H), containing appropriately linked pyrimidine and purine bases at C-4 position were prepared as biomimetic analogs of antiviral 2',3'-dideoxynucleoside monophosphates. The key coupling intermediate dioxolane II was prepared by a cyclocondensation of diethylacetal III and diol IV followed by hydrolysis and lead tetra-acetate oxidative decarboxylation.
AN 1995:755740 HCAPLUS <<LOGINID::20081027>>
DN 124:9301
OREF 124:1977a
TI Synthesis of racemic 2-phosphonomethyl-1,3-dioxolane nucleoside analogs as potential antiviral agents
AU Bednarski, Krzysztof; Dixit, Dilip M.; Mansour, Tarek S.; Colman, Susan G.; Walcott, Sarah M.; Ashman, Clare
CS BioChem Therapeutic Inc., Laval, QC, H7V 4A7, Can.
SO Bioorganic & Medicinal Chemistry Letters (1995), 5(15), 1741-4
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier

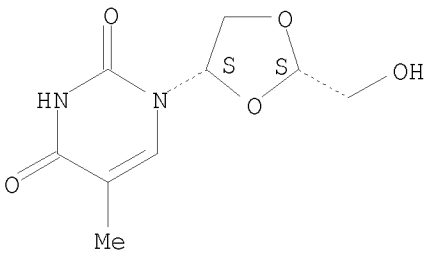
DT Journal
LA English
IT 171109-10-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of racemic phosphonomethyldioxolane nucleoside analogs as potential antiviral agents)
RN 171109-10-7 HCAPLUS
CN Phosphonic acid, mono[[4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl] ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 127658-07-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of racemic phosphonomethyldioxolane nucleoside analogs as potential antiviral agents)
RN 127658-07-5 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

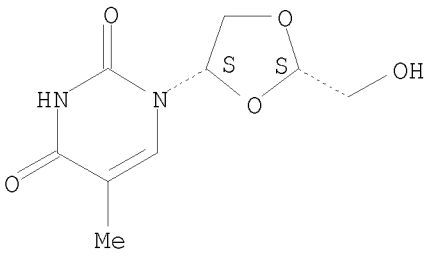
Relative stereochemistry.



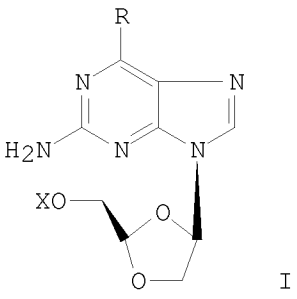
L5 ANSWER 11 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Synthesis of dioxolane-T related nucleosides as potential anti-HIV agents
AB Two new 6-azauracil dioxolane nucleosides (-)-(2R,4R)-1-(2-hydroxymethyl-1,3-dioxolan-4-yl)-6-azauracil and (+)-(2R,4S)-1-(2-hydroxymethyl-1,3-dioxolan-4-yl)-6-azauracil were prepared in ten stes from D-mannose.
AN 1995:478560 HCAPLUS <<LOGINID::20081027>>
DN 123:9855
OREF 123:2071a,2074a
TI Synthesis of dioxolane-T related nucleosides as potential anti-HIV agents
AU Yoo, Jung Man; Seo, Hee Kyung; Choi, Bo Gil; Chung, Byung Ho; Hong, Joon Hee; Chun, Moon Woo

CS Coll. Pharmacy, Chonnam Natl. Univ., Kwangju, 500-757, S. Korea
SO Yakhak Hoechi (1993), 37(6), 591-7
CODEN: YAHOA3; ISSN: 0513-4234
PB Pharmaceutical Society of Korea
DT Journal
LA Korean
IT 127658-07-5DP, (±)-Dioxolane T, analogs
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of dioxolane-T related nucleosides as potential anti-HIV agents)
RN 127658-07-5 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 12 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Enantiomerically pure β-D-dioxolane nucleosides for treatment of HIV infections
GI



I

AB A method and composition for the treatment of humans infected with HIV that includes the administration of an enantiomerically pure β-D-dioxolanylpurine nucleoside I [R = OH, Cl, NH2, H; X = H, alkyl, acyl, (mono-, di-, or tri-)phosphate] or a pharmaceutically acceptable I salt, 97% free of the corresponding β-L isomer, optionally in a pharmaceutically acceptable carrier or diluent. Thus, I (R = OH, X = H) (II) inhibited HIV-1 in human peripheral blood mononuclear cells (as measured by reverse transcriptase activity) with an EC50 of 0.03 μM and showed no cytotoxicity. 2-Fluoro-6-chloropurine was silylated with hexamethyldisilazane and reacted with

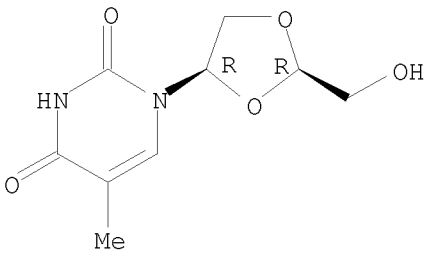
(2R,4RS)-4-acetoxy-2-(tert-butyldiphenylsilyloxymethyl)dioxolane (prepared in 7 steps from 1,6-anhydro-β-D-mannopyranose) in the presence of trimethylsilyl triflate, and the mixture of products was treated with NH3, separated by chromatog. on silica gel, and the desired (-)-(2R,4R)-2-amino-9-[[2-[(tert-butyldiphenylsilyl)oxy]methyl]-1,3-dioxolan-4-yl]-6-chloropurine was treated with HCCH2CH2OH and 1.0M NaOMe/MeOH followed by desilylation to II.

AN 1994:261320 HCAPLUS <<LOGINID::20081027>>
DN 120:261320
OREF 120:46005a,46008a
TI Enantiomerically pure β-D-dioxolane nucleosides for treatment of HIV infections
IN Chu, Chung K.; Schinazi, Raymond F.
PA University of Georgia Research Foundation, Inc., USA; Emory University
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9404154	A1	19940303	WO 1993-US8044	19930825	<--
	W: AU, CA, JP					
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
	US 5925643	A	19990720	US 1992-935515	19920825	<--
	AU 9350933	A	19940315	AU 1993-50933	19930825	<--
	AU 670637	B2	19960725			
	EP 656778	A1	19950614	EP 1993-920366	19930825	<--
	EP 656778	B1	20010530			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
	JP 08501086	T	19960206	JP 1994-506616	19930825	<--
	JP 3519736	B2	20040419			
	CA 2143107	C	20041123	CA 1993-2143107	19930825	<--
	GR 3036393	T3	20011130	GR 2001-401249	20010814	<--
	AU 2003200421	A1	20030410	AU 2003-200421	20030207	<--
	AU 2003200421	B2	20040408			
PRAI	US 1992-935515	A	19920825	<--		
	US 1990-622762	A2	19901205	<--		
	AU 1993-50933	A3	19930825	<--		
	WO 1993-US8044	W	19930825	<--		

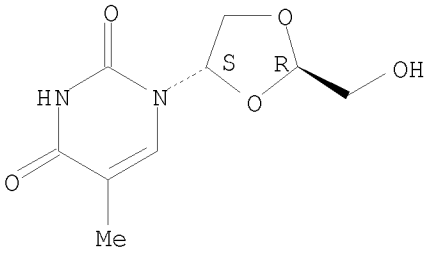
OS MARPAT 120:261320
IT 136982-89-3P 136982-90-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 136982-89-3 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

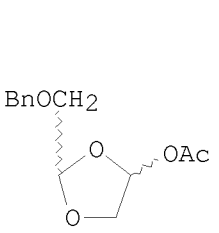


RN 136982-90-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

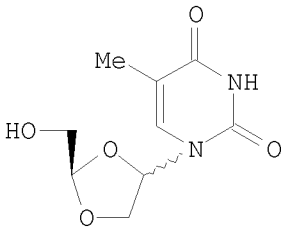
Absolute stereochemistry. Rotation (+).



L5 ANSWER 13 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Divergent asymmetric syntheses of dioxolane nucleoside analogs
GI



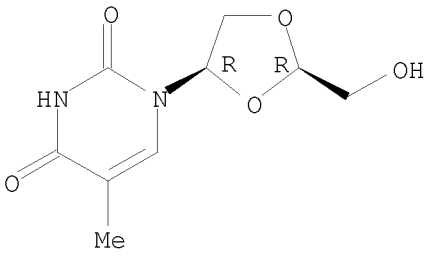
I



II

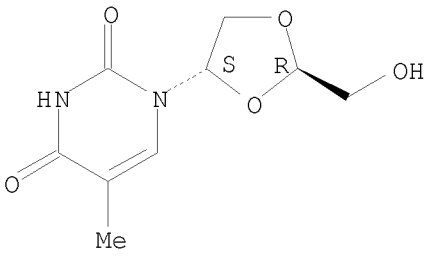
AB Oxidative degradation of benzyloxymethylacetals derived from D-mannitol or L-ascorbic acid provides dioxolane intermediate I useful in the synthesis of all the stereoisomers of dioxolane nucleoside analogs, e.g. II.
AN 1994:245673 HCAPLUS <<LOGINID::20081027>>
DN 120:245673
OREF 120:43581a,43584a
TI Divergent asymmetric syntheses of dioxolane nucleoside analogs
AU Evans, Colleen A.; Dixit, Dilip M.; Siddiqui, M. Arshad; Jin, Haolun; Tse, H. L. Allan; Cimpoia, Alex; Bednarski, Krzysztof; Breining, Tibor; Mansour, Tarek S.
CS BioChem Therapeut. Inc., Laval, QC, H7V 1B7, Can.
SO Tetrahedron: Asymmetry (1993), 4(11), 2319-22
CODEN: TASYE3; ISSN: 0957-4166
DT Journal
LA English
OS CASREACT 120:245673
IT 136982-89-3P 136982-90-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 136982-89-3 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

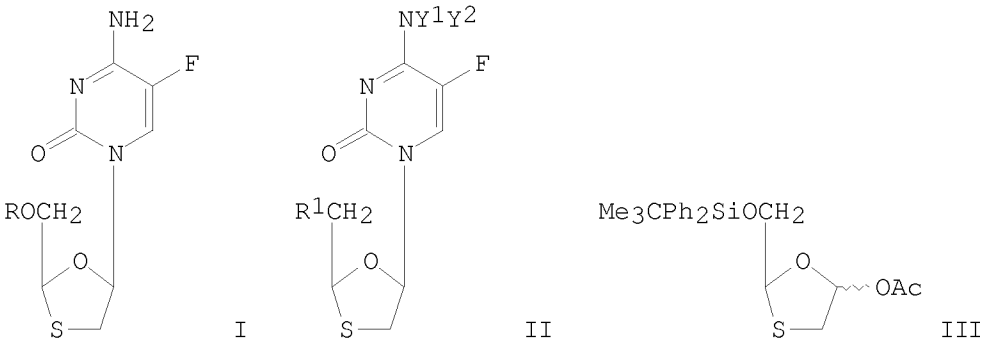


RN 136982-90-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L5 ANSWER 14 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI The preparation of 2'-deoxy-5-fluoro-3'-thiacytidine and related compounds as anti-HIV nucleosides
GI



AB The title compound(I, R = H) was prepared and I and related compds. II [Y1, Y2 = H, (unsubstituted)alkyl, cycloalkyl, acyl; R1 = H, OH, oxyacyl, monophosphate, diphosphate, triphosphate] are potent inhibitors of HIV. Thus, acetate III (preparation given) was condensed with silylated 5-fluorocytosine in the presence of SnCl4 in CH2Cl2 to give 91% silylated

deoxyfluorocytidine I (R = Me3CPh2Si), which was treated with Bu4NF in THF to give I (R = H). I showed an EC50 of 0.011 μM against HIV-1 in human PBM cells while its cytotoxicity was very low IC50 = >100.

AN 1994:54903 HCAPLUS <<LOGINID::20081027>>
DN 120:54903
OREF 120:10043a,10046a
TI The preparation of 2'-deoxy-5-fluoro-3'-thiacytidine and related compounds as anti-HIV nucleosides
IN Liotta, Dennis C.; Schinazi, Raymond F.; Choi, Woo Baeg
PA Emory University, USA
SO U.S., 24 pp. Cont.-in-part of U.S. Ser. No. 473,318.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5210085	A	19930511	US 1991-659760	19910222 <--
	US 5204466	A	19930420	US 1990-473318	19900201 <--
	CA 2075189	A1	19900802	CA 1991-2075189	19910131 <--
	CA 2075189	C	20041130		
	CA 2481078	A1	19910808	CA 1991-2481078	19910131 <--
	HU 62566	A2	19930528	HU 1992-2496	19910131 <--
	AT 170750	T	19980915	AT 1991-904454	19910131 <--
	EP 872237	A1	19981021	EP 1998-201737	19910131 <--
	EP 872237	B1	20070117		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	ES 2076130	T3	19990301	ES 1991-904454	19910131 <--
	JP 2001019690	A	20010123	JP 2000-160358	19910131 <--
	JP 2002012591	A	20020115	JP 2001-151618	19910131 <--
	JP 3530150	B2	20040524		
	EP 1772151	A2	20070411	EP 2006-77328	19910131 <--
	EP 1772151	A3	20070613		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	ES 2279559	T3	20070816	ES 1998-201737	19910131 <--
	US 5276151	A	19940104	US 1991-803028	19911206 <--
	IL 100965	A	19991231	IL 1992-100965	19920217 <--
	CA 2104399	A1	19920823	CA 1992-2104399	19920220 <--
	CA 2104399	C	20051018		
	CA 2513440	A1	19920903	CA 1992-2513440	19920220 <--
	WO 9214743	A2	19920903	WO 1992-US1339	19920220 <--
	WO 9214743	A3	19921029		
	W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MG, MW, NO, PL, RO, RU, SD				
	RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
	AU 9215617	A	19920915	AU 1992-15617	19920220 <--
	AU 665187	B2	19951221		
	ZA 9201251	A	19930820	ZA 1992-1251	19920220 <--
	EP 575482	A1	19931229	EP 1992-908027	19920220 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
	BR 9205661	A	19940524	BR 1992-5661	19920220 <--
	HU 65548	A2	19940628	HU 1993-2377	19920220 <--
	JP 06508605	T	19940929	JP 1992-507549	19920220 <--
	JP 2901160	B2	19990607		
	PL 169842	B1	19960930	PL 1992-300471	19920220 <--
	EP 984013	A2	20000308	EP 1999-203367	19920220 <--
	EP 984013	A3	20000802		
	EP 984013	B1	20040630		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC				
	AT 270291	T	20040715	AT 1999-203367	19920220 <--

EP 1439177 A1 20040721 EP 2004-76245 19920220 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC
RO 119365 B1 20040830 RO 1993-1137 19920220 <--
ES 2224547 T3 20050301 ES 1999-203367 19920220 <--
CZ 295074 B6 20050518 CZ 1992-497 19920220 <--
WO 9214729 A1 19920903 WO 1992-US1393 19920221 <--
W: AU, CA, JP, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE
AU 9214372 A 19920915 AU 1992-14372 19920221 <--
CN 1065065 A 19921007 CN 1992-101981 19920222 <--
CN 1037682 C 19980311
CN 1920050 A 20070228 CN 2006-10093453 19920222 <--
CN 101082058 A 20071205 CN 2006-10094489 19920222 <--
US 5539116 A 19960723 US 1993-15992 19930210 <--
US 5814639 A 19980929 US 1993-17820 19930216 <--
NO 9302980 A 19930820 NO 1993-2980 19930820 <--
NO 312399 B1 20020506
FI 114915 B1 20050131 FI 1993-3684 19930820 <--
US 5852027 A 19981222 US 1993-150012 19931109 <--
US 6703396 B1 20040309 US 1995-402730 19950313 <--
US 5700937 A 19971223 US 1995-481556 19950607 <--
US 5728575 A 19980317 US 1995-485318 19950607 <--
US 5827727 A 19981027 US 1995-483653 19950607 <--
US 5914400 A 19990622 US 1995-472345 19950607 <--
US 5914331 A 19990622 US 1995-488097 19950607 <--
US 6069252 A 20000530 US 1995-474406 19950607 <--
US 6114343 A 20000905 US 1995-482875 19950607 <--
US 6346627 B1 20020212 US 1995-482233 19950607 <--
US 6642245 B1 20031104 US 1995-475339 19950607 <--
CN 1127301 A 19960724 CN 1995-109814 19950818 <--
CN 1109108 C 20030521
AU 9537943 A 19960314 AU 1995-37943 19951120 <--
AU 679649 B2 19970703
AU 9540319 A 19960426 AU 1995-40319 19951211 <--
AU 698859 B2 19981112
JP 10147586 A 19980602 JP 1997-340469 19971106 <--
JP 3292830 B2 20020617
CN 1203232 A 19981230 CN 1998-108905 19980515 <--
CN 1084745 C 20020515
US 5892025 A 19990406 US 1998-115780 19980714 <--
AU 9880773 A 19981015 AU 1998-80773 19980817 <--
HK 1026419 A1 20041112 HK 2000-105566 19981228 <--
US 6153751 A 20001128 US 1999-337910 19990622 <--
AU 9944745 A 19991111 AU 1999-44745 19990826 <--
AU 715577 B3 20000203 AU 1999-59571 19991119 <--
JP 2001352997 A 20011225 JP 2001-151617 20010521 <--
JP 3844978 B2 20061115
US 20020143194 A1 20021003 US 2002-73734 20020211 <--
AU 2002300661 A1 20030220 AU 2002-300661 20020820 <--
AU 2002300661 B2 20060608
CN 1418966 A 20030521 CN 2002-144033 20020930 <--
CN 100396785 C 20080625
FI 2003000932 A 20030624 FI 2003-932 20030624 <--
US 20040038350 A1 20040226 US 2003-644293 20030820 <--
US 7160999 B2 20070109
US 20050004148 A1 20050106 US 2003-700276 20031103 <--
US 20040171124 A1 20040902 US 2004-795046 20040305 <--
JP 2005053893 A 20050303 JP 2004-146115 20040517 <--
JP 4108645 B2 20080625
US 20050215527 A1 20050929 US 2005-125943 20050509 <--
JP 2006141408 A 20060608 JP 2006-33782 20060210 <--

	US 20060276492	A1	20061207	US 2006-390861	20060328 <--
	US 7402588	B2	20080722		
	AU 2006207874	A1	20060928	AU 2006-207874	20060907 <--
PRAI	US 1990-473318	A2	19900201 <--		
	AU 1991-73004	A3	19910131 <--		
	CA 1991-2075189	A3	19910131 <--		
	EP 1991-904454	A3	19910131 <--		
	EP 1998-201737	A3	19910131 <--		
	JP 1991-504897	A3	19910131 <--		
	US 1991-659760	A1	19910222 <--		
	GB 1991-4741	A	19910306 <--		
	GB 1991-9505	A	19910502 <--		
	US 1991-736089	A1	19910726 <--		
	US 1991-776072	B2	19911011 <--		
	US 1991-803028	A2	19911206 <--		
	US 1992-831153	A	19920212 <--		
	CA 1992-2104399	A3	19920220 <--		
	EP 1992-908027	A3	19920220 <--		
	EP 1999-203367	A3	19920220 <--		
	JP 1992-507549	A3	19920220 <--		
	WO 1992-US1339	A	19920220 <--		
	WO 1992-US1393	A	19920221 <--		
	CN 1992-101981	A3	19920222 <--		
	US 1992-846303	B1	19920305 <--		
	US 1993-15992	A1	19930210 <--		
	US 1993-92248	B1	19930715 <--		
	US 1994-215498	B1	19940321 <--		
	US 1995-402730	A2	19950313 <--		
	US 1995-451392	B1	19950526 <--		
	US 1995-472345	A1	19950607 <--		
	US 1995-475339	A1	19950607 <--		
	US 1995-482233	A1	19950607 <--		
	US 1995-483653	A3	19950607 <--		
	AU 1999-44745	A3	19990826 <--		
	JP 2001-151617	A3	20010521 <--		
	US 2002-73734	B1	20020211 <--		
	AU 2002-300661	A3	20020820 <--		
	CN 2002-144033	A3	20020930 <--		
	US 2005-125943	B1	20050509		

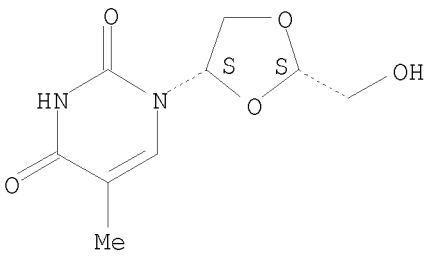
OS CASREACT 120:54903; MARPAT 120:54903

IT 127658-07-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 127658-07-5 HCAPLUS

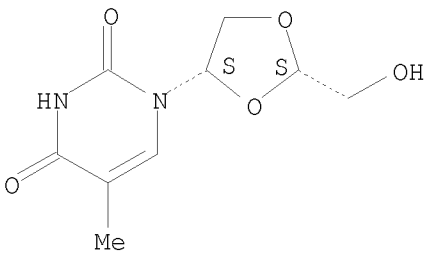
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



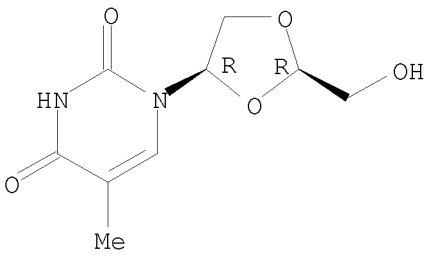
L5 ANSWER 15 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI High-performance liquid chromatographic determination of the isomeric purity of a series of dioxolane nucleoside analogs
AB Racemic (±)-cis-2-hydroxymethyl-4-(cytosin-1'-yl)-1,3-dioxolane analog (BCH-204) exhibited high levels of anti-HIV activity, but also showed cytotoxicity at the active concentration To examine the possibility of enantiomerically separating the HIV activity from the cytotoxicity in the dioxolane nucleosides, HPLC using chiral stationary phase columns was examined The successful separation of dioxolane compds. was demonstrated utilizing optimum conditions of columns, solvents, flow-rates and temperature In the reversed-phase mode, the cyclodextrin columns Cyclobond I SP and RSP were used to sep. the enantiomers of cis- and trans-(±)-dioxolane-C , and the protein column α-AGP was successful in separating enantiomerically cis-(±)-dioxolane-G and cis- and trans-enantiomeric forms of (±)-dioxolane-A. In the normal-phase mode, one of the cellulose columns, Chiralcel OJ, successfully separated enantiomerically (±)-dioxolane-T nucleosidic analog.
AN 1993:656619 HCAPLUS <<LOGINID::20081027>>
DN 119:256619
OREF 119:45661a,45664a
TI High-performance liquid chromatographic determination of the isomeric purity of a series of dioxolane nucleoside analogs
AU Di Marco, M. P.; Evans, C. A.; Dixit, D. M.; Brown, W. L.; Siddiqui, M. A.; Tse, H. L. A.; Jin, H.; Nguyen-Ba, N.; Mansour, T. S.
CS BioChem Therapeutic Inc., 531 Boulevard des Prairies, Laval, Quebec, H7V 1B7, Can.
SO Journal of Chromatography (1993), 645(1), 107-14
CODEN: JOCRAM; ISSN: 0021-9673
DT Journal
LA English
IT 127658-07-5, (±)-BCH 344
RL: ANT (Analyte); ANST (Analytical study)
(resolution of, by HPLC, chiral stationary phases for)
RN 127658-07-5 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



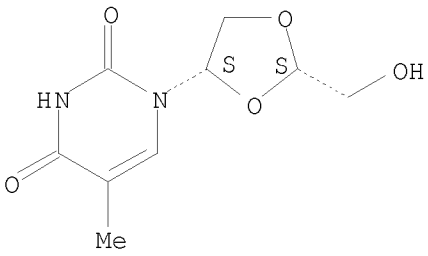
IT 136982-89-3 145414-65-9
RL: ANT (Analyte); ANST (Analytical study)
(separation of, by HPLC, chiral stationary phases for)
RN 136982-89-3 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

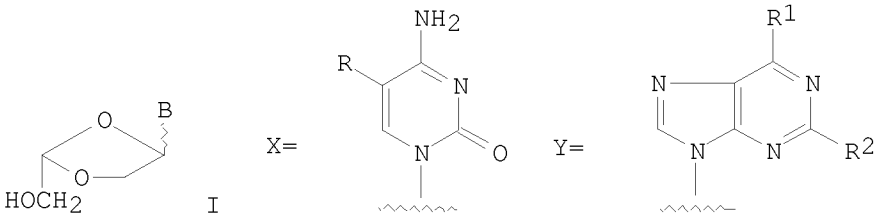


RN 145414-65-9 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,4S)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



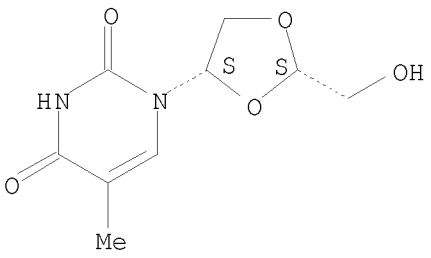
L5 ANSWER 16 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI L-β-(2S,4S)- and L-α-(2S,4R)-dioxolanyl nucleosides as potential anti-HIV agents: asymmetric synthesis and structure-activity relationships
GI



AB Various enantiomerically pure L-(2S,4S)- and L-(2S,4R)-dioxolanyl nucleosides, e.g. I (B = X, Y, R = H, Me, halo, R1 = Cl, NH2, OMe, R2 = H; R1= NH2, R2 = Cl, NH2), have been prepared and evaluated against HIV-1 in human peripheral blood mononuclear (PBM) cells. Among the compound synthesized, (-)-(2S,4S)-I (B = X, R = F) was the most potent anti-HIV activity (EC50 = 0.0012 μM) although it was toxic (EC50 = 10.0 μM). It is interesting to note that (+)-(2S,4R)-I (B = X, R = F) exhibited an excellent anti-HIV activity (EC50 = 0.063 μM) without cytotoxicity up to 100 μM in PBM cell.

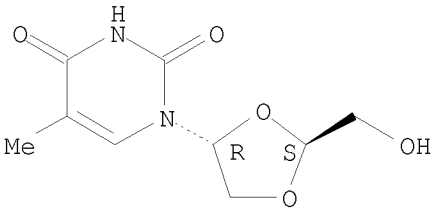
AN 1993:213427 HCAPLUS <<LOGINID::20081027>>
DN 118:213427
OREF 118:36811a,36814a
TI L-β-(2S,4S)- and L-α-(2S,4R)-dioxolanyl nucleosides as potential anti-HIV agents: asymmetric synthesis and structure-activity relationships
AU Kim, Hea O.; Schinazi, Raymond F.; Shanmuganathan, Kirupathevy; Jeong, Lak S.; Beach, J. Warren; Nampalli, Satyanarayana; Cannon, Deborah L.; Chu, Chung K.
CS Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA
SO Journal of Medicinal Chemistry (1993), 36(5), 519-28
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 118:213427
IT 145414-65-9P 145414-66-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiviral activity of)
RN 145414-65-9 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,4S)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

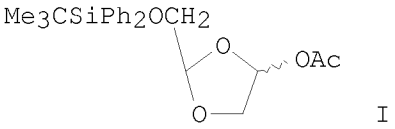


RN 145414-66-0 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 17 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Antiviral 1,3-dioxolane nucleosides and synthesis thereof
GI



I

AB Title nucleosides were prepared by coupling a 2-O-protected 5-O-acyl-1,3-dioxolane with a protected purine or pyrimidine base in presence of a Ti(IV) catalyst, preferably TiCl4, TiCl2(OCHMe2)2, or TiCl3(OCHMe2). Thus, coupling the acetates I with silylated thymine gave the β- and α-nucleosides in 7:1, 10:1, and >98:2 ratio with TiCl4, TiCl3(OCHMe2), and TiCl2(OCHMe2)2 resp. The nucleosides have virucidal activity, 2'-deoxy-5-fluoro-3'-oxauridine having an in vivo anti-HIV-I ED50 of 0.0063 μM.

AN 1993:60049 HCAPLUS <<LOGINID::20081027>>

DN 118:60049

OREF 118:10791a,10794a

TI Antiviral 1,3-dioxolane nucleosides and synthesis thereof

IN Liotta, Dennis C.; Schinazi, Raymond F.

PA Emory University, USA

SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9214729	A1	19920903	WO 1992-US1393	19920221 <--
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	US 5210085	A	19930511	US 1991-659760	19910222 <--
	US 5276151	A	19940104	US 1991-803028	19911206 <--
	AU 9214372	A	19920915	AU 1992-14372	19920221 <--
	US 5852027	A	19981222	US 1993-150012	19931109 <--
	AU 715577	B3	20000203	AU 1999-59571	19991119 <--
	AU 2002300661	A1	20030220	AU 2002-300661	20020820 <--
	AU 2002300661	B2	20060608		
PRAI	US 1991-659760	A2	19910222 <--		
	US 1991-736089	A2	19910726 <--		
	US 1991-803028	A2	19911206 <--		
	US 1990-473318	A2	19900201 <--		
	WO 1992-US1393	A	19920221 <--		
	US 1993-15992	A	19930210 <--		
	AU 1999-44745	A3	19990826 <--		

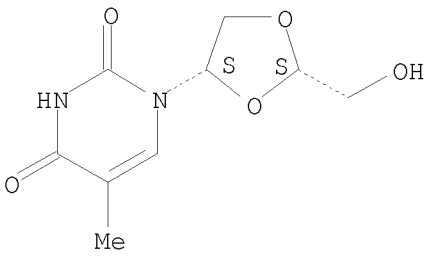
OS MARPAT 118:60049

IT 127658-07-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and virucidal activity of)

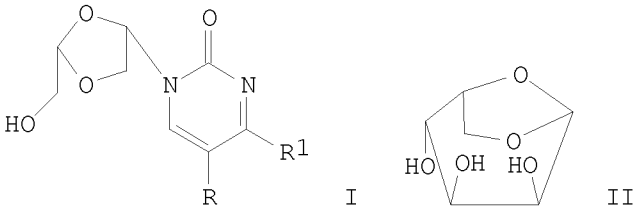
RN 127658-07-5 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

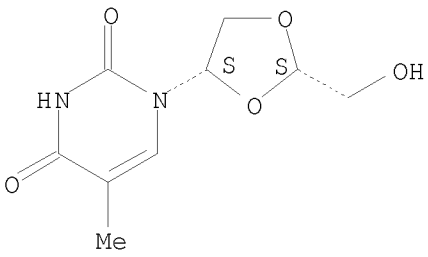


L5 ANSWER 18 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Potent anti-HIV and anti-HBV activities of (-)-L-β-dioxolane-C and (+)-L-β-dioxolane-T and their asymmetric syntheses
GI



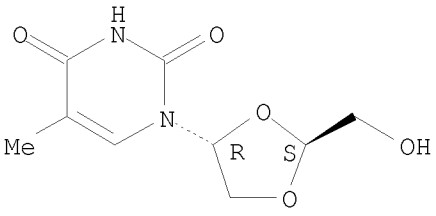
AB The asym. syntheses of (+)-L-β-dioxolane-T (I; R = Me, R1 = OH) and (-)-L-β-dioxolane-C (I; R= H, R1 = NH2) were accomplished starting from 1,6-anhydro-L-β-gulopyranose (II), and their anti-HIV and anti-HBV activities were evaluated in human PBM cells, CEM cells and 2.2.15 cells, resp.
AN 1993:60030 HCAPLUS <<LOGINID::20081027>>
DN 118:60030
OREF 118:10787a,10790a
TI Potent anti-HIV and anti-HBV activities of (-)-L-β-dioxolane-C and (+)-L-β-dioxolane-T and their asymmetric syntheses
AU Kim, Hea O.; Shanmuganathan, Kirupathevy; Alves, Antonio J.; Jeong, Lak S.; Beach, J. Warren; Schinazi, Raymond F.; Chang, Chien Neng; Cheng, Yung Chi; Chu, Chung K.
CS Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA
SO Tetrahedron Letters (1992), 33(46), 6899-902
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 118:60030
IT 145414-65-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(asym. synthesis and antiviral activity of)
RN 145414-65-9 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,4S)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

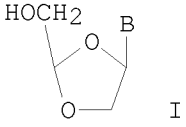


IT 145414-66-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(asym. synthesis of)
RN 145414-66-0 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 19 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Virucidal enantiomerically pure (beta)-D-(-)-dioxolane nucleosides
GI



I

AB The title nucleosides I (B = purine and pyrimidine derivs.) were prepared Thus, I (B = thymine; II) obtained in 10 steps. II showed an anti-HIV ED50 of 0.3 μM and a cytotoxicity > 100 μM. The α-isomer of II showed no significant anti-HIV activity.
AN 1992:571969 HCAPLUS <<LOGINID::20081027>>
DN 117:171969
OREF 117:29757a,29760a
TI Virucidal enantiomerically pure (beta)-D-(-)-dioxolane nucleosides
IN Chung, K. Chu; Raymond, F. Schinazi
PA University of Georgia Research Foundation, Inc., USA; Emory University
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 6

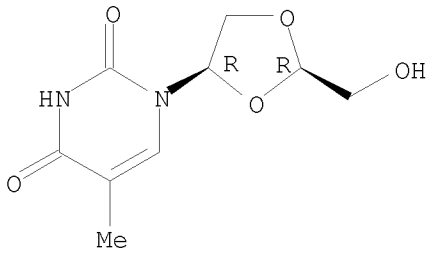
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9210497	A1	19920625	WO 1991-US9124	19911205 <--
	W: AU, CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	US 5179104	A	19930112	US 1990-622762	19901205 <--
	CA 2099589	A1	19920606	CA 1991-2099589	19911205 <--
	CA 2099589	C	20070821		
	CA 2590125	A1	19920625	CA 1991-2590125	19911205 <--
	AU 9191475	A	19920708	AU 1991-91475	19911205 <--
	EP 562009	A1	19930929	EP 1992-902800	19911205 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
	JP 07502973	T	19950330	JP 1992-502956	19911205 <--
	JP 3421335	B2	20030630		
	EP 1164133	A2	20011219	EP 2001-203571	19911205 <--
	EP 1164133	A3	20020102		
	EP 1164133	B1	20070801		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC				
	EP 1600448	A2	20051130	EP 2005-75365	19911205 <--
	EP 1600448	A3	20060823		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC				
	EP 1693373	A1	20060823	EP 2005-77620	19911205 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC				
	AT 368660	T	20070815	AT 2001-203571	19911205 <--
	ES 2291268	T3	20080301	ES 2001-203571	19911205 <--
	AU 9716640	A	19970717	AU 1997-16640	19970327 <--
	AU 714646	B2	20000106		
	JP 2001097973	A	20010410	JP 2000-246125	20000815 <--
	JP 3881165	B2	20070214		
	AU 2003200421	A1	20030410	AU 2003-200421	20030207 <--
	AU 2003200421	B2	20040408		
	JP 2007008959	A	20070118	JP 2006-263009	20060927 <--
PRAI	US 1990-622762	A	19901205 <--		
	CA 1991-2099589	A3	19911205 <--		
	EP 1992-902800	A3	19911205 <--		
	EP 2001-203571	A3	19911205 <--		
	JP 1992-502956	A3	19911205 <--		
	WO 1991-US9124	W	19911205 <--		
	AU 1993-50933	A3	19930825 <--		
	JP 2000-246125	A3	20000815 <--		

IT 136982-89-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiviral activity of)

RN 136982-89-3 HCAPLUS

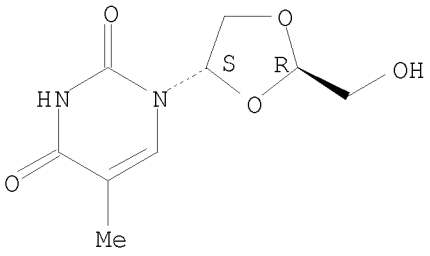
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



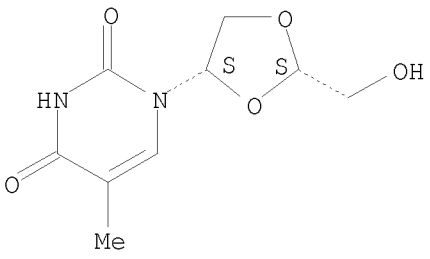
IT 136982-90-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 136982-90-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L5 ANSWER 20 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Substrate specificity of Escherichia coli thymidine phosphorylase for pyrimidine nucleosides with anti-human immunodeficiency virus activity
AB Various nucleoside antiviral agents and their metabolites were examined for their ability to be cleaved across the glycosidic bond by Escherichia coli thymidine phosphorylase. The increasing order of susceptibility to cleavage was U > T » C derivs. Nucleosides that were unsatd. in the sugar moiety were more susceptible than saturated ones. 3'-Deoxy-2',3'-didehydrothymidine was a substrate, whereas 3'-azido-, 3'-fluoro-, 3'-oxo- and 3'-thiapyrimidine nucleosides were resistant to this enzyme.
AN 1992:563325 HCAPLUS <<LOGINID::20081027>>
DN 117:163325
OREF 117:27979a,27982a
TI Substrate specificity of Escherichia coli thymidine phosphorylase for pyrimidine nucleosides with anti-human immunodeficiency virus activity
AU Schinazi, Raymond F.; Peck, Annette; Sommadossi, Jean Pierre
CS Sch. Med., Emory Univ., Atlanta, GA, 30322, USA
SO Biochemical Pharmacology (1992), 44(2), 199-204
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English
IT 127658-07-5, Dioxolane T
RL: BIOL (Biological study)
(thymidine phosphorylase effect on, intestinal metabolism in relation to)
RN 127658-07-5 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

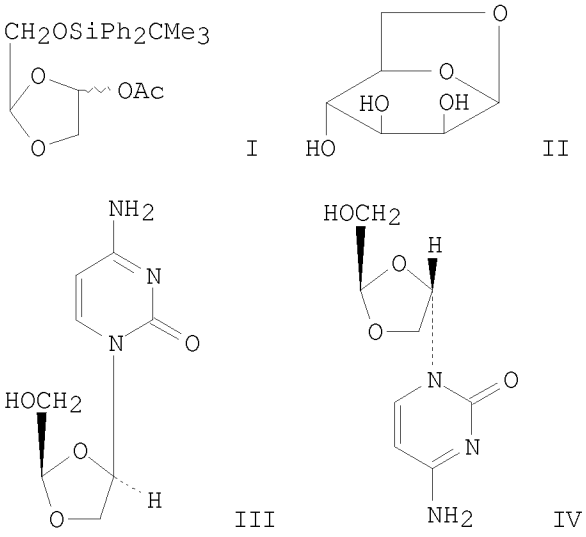
Relative stereochemistry.



L5 ANSWER 21 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Asymmetric synthesis of 1,3-dioxolane-pyrimidine nucleosides and their anti-HIV activity.

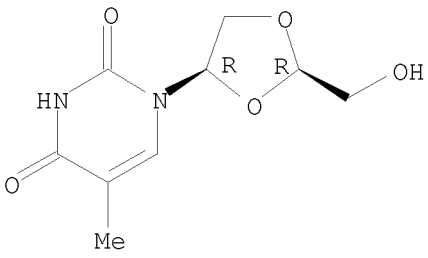
GI



AB In order to study the structure-activity relationships of dioxolane nucleosides as potential anti-HIV agents, various enantiomerically pure dioxolane-pyrimidine nucleosides were synthesized and evaluated against HIV-1 in human peripheral blood mononuclear cells. The enantiomerically pure key intermediate I was synthesized in 9 steps from 1,6-anhydro-D-mannose (II), which was condensed with 5-substituted pyrimidines to obtain various dioxolane-pyrimidine nucleosides. Upon evaluation of these compds., cytosine derivative III was found to exhibit the most potent anti-HIV agent although it is the most toxic. The order of anti-HIV potency was as follows: cytosine (β-isomer) > thymine > cytosine (α-isomer) > 5-chlorouracil > 5-bromouracil > 5-fluorouracil derivs. Uracil, 5-methylcytosine, and 5-iodouracil derivs. were found to be inactive. Interestingly, α-isomer IV showed good anti-HIV activity without cytotoxicity. As expected, other α-isomers did not exhibit any significant antiviral activity. (-)-Dioxolane-T was 5-fold less effective against AZT-resistant virus than AZT-sensitive virus.

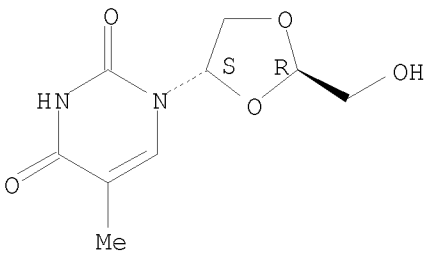
AN 1992:255957 HCAPLUS <<LOGINID::20081027>>
DN 116:255957
OREF 116:43419a,43422a
TI Asymmetric synthesis of 1,3-dioxolane-pyrimidine nucleosides and their anti-HIV activity.
AU Kim, Hea O.; Ahn, Soon K.; Alves, Antonio J.; Beach, J. Warren; Jeong, Lak S.; Choi, Bo G.; Van Roey, Patrick; Schinazi, Raymond F.; Chu, Chung K.
CS Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA
SO Journal of Medicinal Chemistry (1992), 35(11), 1987-95
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 116:255957
IT 136982-89-3 136982-90-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(asym. synthesis and anti-HIV activity of)
RN 136982-89-3 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



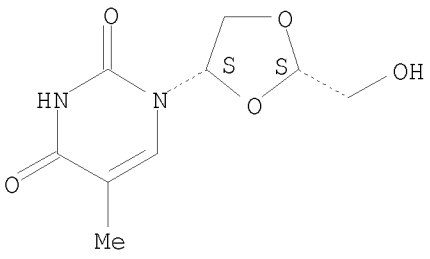
RN 136982-90-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

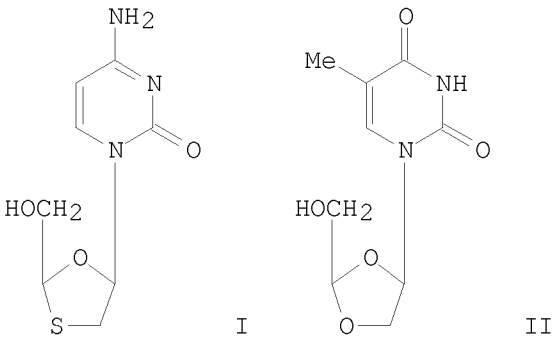


IT 127658-07-5DP, analogs
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and anti-HIV activity of)
RN 127658-07-5 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 22 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI In situ complexation directs the stereochemistry of N-glycosylation in the synthesis of thialanyl and dioxolanyl nucleoside analogs
GI

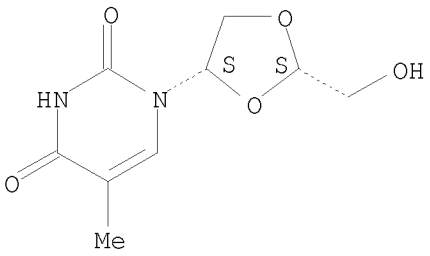


I II

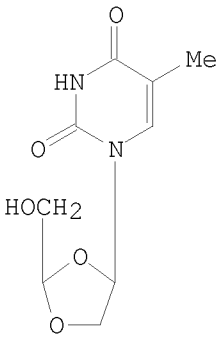
AB Syntheses of both thiadideoxycytidine I and oxadeoxythymidine II which utilize highly stereoselective base coupling reactions are reported. The observed stereoselectivity appears to be the result of the in situ formation of a complex between a cyclic precursor and a matched Lewis acid. In each case this complexation dramatically hinders the approach of the silylated base to the α-face, resulting in the formation of the desired β-isomer.
AN 1991:656548 HCAPLUS <<LOGINID::20081027>>
DN 115:256548
OREF 115:43649a,43652a
TI In situ complexation directs the stereochemistry of N-glycosylation in the synthesis of thialanyl and dioxolanyl nucleoside analogs
AU Choi, Woo Baeg; Wilson, Lawrence J.; Yeola, Suresh; Liotta, Dennis C.; Schinazi, Raymond F.
CS Dep. Chem., Emory Univ., Atlanta, GA, 30322, USA
SO Journal of the American Chemical Society (1991), 113(24), 9377-9
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA English
OS CASREACT 115:256548
IT 127658-07-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 127658-07-5 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 23 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Asymmetric synthesis of enantiomerically pure (-)-(1'R,4'R)-dioxolane-thymine and its anti-HIV activity
GI

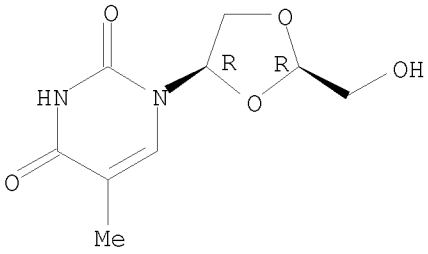


I

AB An asym. synthesis leading to the enantiomerically pure title compound (I) has been achieved and its conformation has been determined I was found to have potent and selective anti-HIV activity in primary human lymphocytes.
AN 1991:632723 HCAPLUS <<LOGINID::20081027>>
DN 115:232723
OREF 115:39689a,39692a
TI Asymmetric synthesis of enantiomerically pure (-)-(1'R,4'R)-dioxolane-thymine and its anti-HIV activity
AU Chu, Chung K.; Ahn, Soon K.; Kim, H. O.; Beach, J. Warren; Alves, Antonio J.; Jeong, Lak S.; Islam, Qamrul; Van Roey, Patrick; Schinazi, Raymond F.
CS Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA
SO Tetrahedron Letters (1991), 32(31), 3791-4
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 115:232723
IT 136982-89-3 136982-90-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

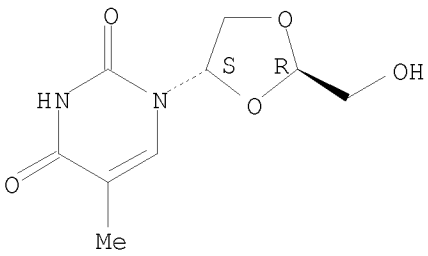
(asym. synthesis and antiviral activity of)
RN 136982-89-3 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 136982-90-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

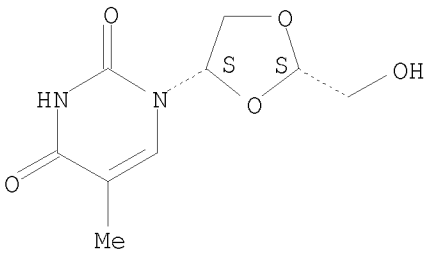
Absolute stereochemistry. Rotation (+).



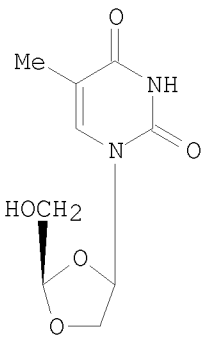
L5 ANSWER 24 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Synthesis of iso-ddA, member of a novel class of anti-HIV agents dioxolane-T, a new 2',3'-dideoxynucleoside prototype with in vitro activity against HIV
AB The title research of D. M. Huryn, B. C. Sluboski, SrY. Tam, L. J. Todaro, and M. Weigele (1989) and of D. W. Norbeck, S. S. Panton, S. Broder, and H. Mitsuyo (1989) is reviewed with commentary and 4 refs.
AN 1990:631785 HCAPLUS <<LOGINID::20081027>>
DN 113:231785
OREF 113:39121a,39124a
TI Synthesis of iso-ddA, member of a novel class of anti-HIV agents dioxolane-T, a new 2',3'-dideoxynucleoside prototype with in vitro activity against HIV
AU Ganem, Bruce
CS Cornell Univ., Ithaca, NY, USA
SO Chemtracts: Organic Chemistry (1990), 3(3), 249-51
CODEN: CMOCEI; ISSN: 0895-4445
DT Journal; General Review
LA English
IT 127658-07-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as HIV inhibitor)
RN 127658-07-5 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 25 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI (±)-Dioxolane-T ((±)-1-[(2β,4β)-2-(hydroxymethyl)-4-dioxolanyl]thymine). A new 2',3'-dideoxynucleoside prototype with in vitro activity against HIV
GI

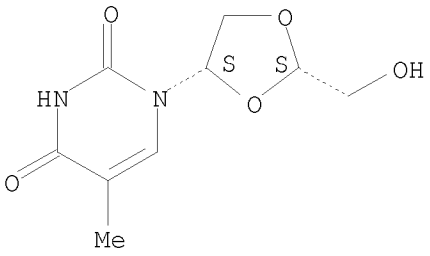


I

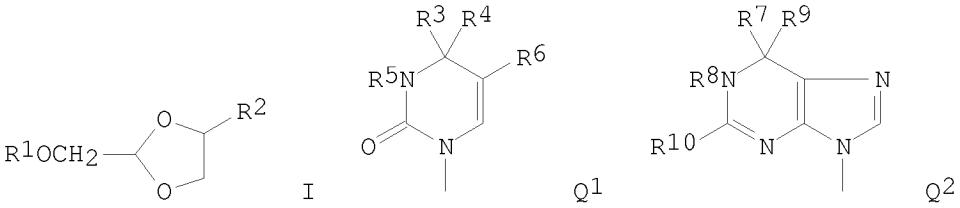
AB A novel analog I of 3'-deoxythymidine, in which the 3'-carbon is replaced by oxygen, was synthesized in 5 steps from (benzyloxy)acetaldehyde di-Me acetal and Me (±)-glycerate. In ATH8 cells, I showed significant inhibition of the infectivity and cytopathic effect of HIV at a concentration of
20 μM, while the growth of the uninfected control cells was not affected by concns. as high as 200 μM. X-ray crystallog. anal. confirmed the assignment of stereochem. and established a 3T4 type conformation of the dioxolane ring.
AN 1990:424406 HCAPLUS <<LOGINID::20081027>>
DN 113:24406
OREF 113:4251a,4254a
TI (±)-Dioxolane-T ((±)-1-[(2β,4β)-2-(hydroxymethyl)-4-dioxolanyl]thymine). A new 2',3'-dideoxynucleoside prototype with in vitro activity against HIV
AU Norbeck, Daniel W.; Spanton, Stephen; Broder, Samuel; Mitsuya, Hiroaki
CS Anti-infect. Res. Div., Abbott Lab., Abbott Park, IL, 60064, USA
SO Tetrahedron Letters (1989), 30(46), 6263-6

CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 113:24406
IT 127658-07-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, crystal structure, conformation, and anti-HIV activity of)
RN 127658-07-5 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 26 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Purinyl- and pyrimidinyl-1,3-dioxolanes as anti-retrovirals
GI



AB Title compds. I [R1 = H, acyl, (substituted) PhCO; R2 = Q1 [R3R4 = O and R5 = H and R6 = Me, alkyl, halo; R3 = (monoalkyl-substituted) amino and R4R5 = bond and R6 = H, alkyl], Q2 (R7 = H and R8R9 = bond and R10 = NH2; R7 = NH2 and R8R9 = bond and R10 = H,NH2; R7 = Cl and R8R9 = bond and R10 = NH2; R7R9 = O and R8 = H and R10 = NH2)] are prepared for treatment of AIDS. trans-I (R1 = H; R2 = Q1; R3 = NH2; R4R5 = bond; R6 = H) (prepared from 2-chloromethyl-4-hydroxymehtyl-1,3-dioxolane with 6 steps) at 10 μM reduced reverse transcriptase activity in a HIV-I infected medium to 4608 cpm after 8 days, vs 633 cpm for AZT at 20 μM.
AN 1990:198359 HCAPLUS <<LOGINID::20081027>>
DN 112:198359
OREF 112:33541a,33544a
TI Purinyl- and pyrimidinyl-1,3-dioxolanes as anti-retrovirals
IN Belleau, Bernard; Dixit, Dilop; Nguyen Ba Nghe
PA IAF Biochem International Inc., Can.
SO Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DT Patent
LA English

FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 337713	A2	19891018	EP 1989-303537	19890411 <--
	EP 337713	A3	19901122		
	EP 337713	B1	19951018		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DK 8901720	A	19891012	DK 1989-1720	19890410 <--
	DK 175372	B1	20040913		
	CA 1339609	C	19971230	CA 1989-596218	19890410 <--
	AU 8932644	A	19891012	AU 1989-32644	19890411 <--
	AU 631786	B2	19921210		
	JP 01316375	A	19891221	JP 1989-89893	19890411 <--
	JP 3085675	B2	20000911		
	ZA 8902645	A	19891227	ZA 1989-2645	19890411 <--
	IL 89921	A	19930818	IL 1989-89921	19890411 <--
	AT 129247	T	19951115	AT 1989-303537	19890411 <--
	ES 2078234	T3	19951216	ES 1989-303537	19890411 <--
	KR 137023	B1	19980425	KR 1989-4725	19890411 <--
	US 5270315	A	19931214	US 1991-666045	19910307 <--
	US 6350753	B1	20020226	US 1998-163374	19980930 <--
	US 20030087918	A1	20030508	US 2002-73116	20020212 <--
	US 6903224	B2	20050607		
	US 20040254201	A1	20041216	US 2004-887182	20040709 <--
	US 7122693	B2	20061017		
PRAI	US 1988-179615	A	19880411 <--		
	US 1989-308101	A2	19890208 <--		
	US 1990-546676	A3	19900629 <--		
	US 1990-564160	B1	19900807 <--		
	US 1991-666045	A3	19910307 <--		
	US 1994-306830	A1	19940915 <--		
	US 1998-163374	A1	19980930 <--		
	US 2002-73116	A1	20020212 <--		

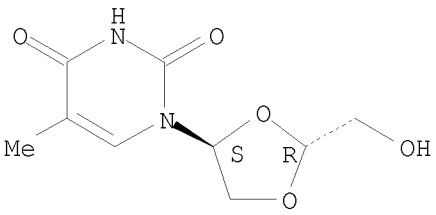
OS MARPAT 112:198359

IT 126652-15-1P 126652-29-7P 126652-30-0P
126652-45-7P 126652-46-8P 127658-07-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anti-retroviral)

RN 126652-15-1 HCAPLUS

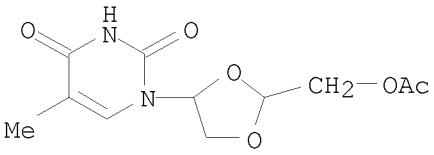
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

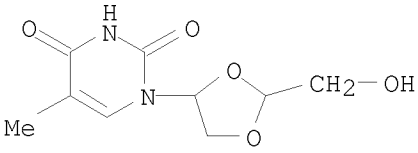


RN 126652-29-7 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)

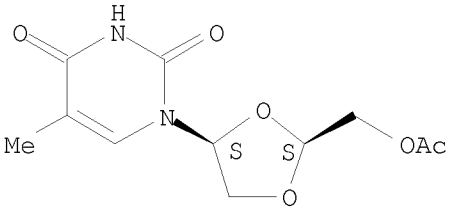


RN 126652-30-0 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl- (CA INDEX NAME)



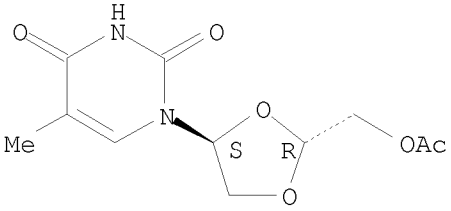
RN 126652-45-7 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



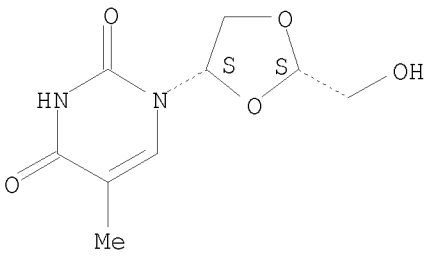
RN 126652-46-8 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S)-2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 127658-07-5 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



=> d his

(FILE 'HOME' ENTERED AT 11:13:28 ON 27 OCT 2008)

FILE 'REGISTRY' ENTERED AT 11:13:48 ON 27 OCT 2008
L1 STRUCTURE UPLOADED
L2 2 S L1
L3 54 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 11:14:30 ON 27 OCT 2008
L4 38 S L3
L5 26 S L4 AND (PY<2003 OR AY<2003 OR PRY<2003)

=> log hold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	147.08	325.65

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-20.80	-20.80

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 11:15:27 ON 27 OCT 2008

Connecting via Winsock to STN

Welcome to STN International! Enter x:X

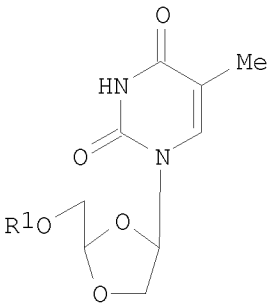
LOGINID:SSPTAEXO1623

PASSWORD:
* * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'HCAPLUS' AT 11:18:14 ON 27 OCT 2008
FILE 'HCAPLUS' ENTERED AT 11:18:14 ON 27 OCT 2008
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	147.08	325.65

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL

```
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -20.80     -20.80

=> s resistant or resistance or XXBRU or K65R or M184V or L74V or 4XAZT or T215Y or
K103N or (5075-72) or (488-101) or (C910-6) or LA1M184V or (G910-6)
        654704 RESISTANT
       1245672 RESISTANCE
             1 XXBRU
           179 K65R
           388 M184V
            79 L74V
             0 4XAZT
           171 T215Y
           280 K103N
           397 5075
        287332 72
             0 5075-72
                 (5075(W)72)
         10005 488
         97844 101
             0 488-101
                 (488(W)101)
             2 C910
       4179771 6
             0 C910-6
                 (C910(W)6)
             0 LA1M184V
             4 G910
       4179771 6
             3 G910-6
                 (G910(W)6)
L6     1593959 RESISTANT OR RESISTANCE OR XXBRU OR K65R OR M184V OR L74V OR
               4XAZT OR T215Y OR K103N OR (5075-72) OR (488-101) OR (C910-6)
               OR LA1M184V OR (G910-6)

=> s l5 and l6
L7           3 L5 AND L6

=> d l7 1-3 ti abs bib

L7   ANSWER 1 OF 3  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Dioxolane thymine and combinations for use against 3TC/AZT
     resistant strains of HIV
GI
```



I

AB The present invention relates to the use of a dioxolane thymine compound according to the chemical structure of Formula (I): where R1 is H, an acyl group, a C1-C20 alkyl or ether group, a phosphate, diphosphate, triphosphate or phosphodiester group, for use in the treatment of HIV infections which exhibit resistance to 3TC and/or AZT. Preferably, compds. according to the present invention are combined with at least one anti-HIV agent which inhibits HIV by a mechanism other than through the inhibition of thymidine kinase (TK). These agents include those selected from among nucleoside reverse transcriptase inhibitors (NRTI), non-nucleoside reverse transcriptase inhibitors, protease inhibitors, fusion inhibitors, among others. These agents are generally selected from the group consisting of 3TC (Lamivudine), AZT (Zidovudine), (-)-FTC, ddI (Didanosine), ddC (zalcitabine), abacavir (ABC), tenofovir (PMPA), D-D4FC (Reverset), D4T (Stavudine), Racivir, L-D4FC, NVP (Nevirapine), DLV (Delavirdine), EFV (Efavirenz), SQVM (Saquinavir mesylate), RTV (Ritonavir), IDV (Indinavir), SQV (Saquinavir), NFV (Nelfinavir), APV (Amprenavir), LPV (Lopinavir), fuseon and mixts. thereof. The TK dependent agents, such as AZT and D4T, may be used in combination with one of the dioloxane thymine compds. according to the present invention, but the use of such agents may be less preferred. In preferred compns. according to the present invention, R1 is preferably H or a C2-C18 acyl group or a monophosphate group. Pharmaceutical compns. and methods of reducing the likelihood that a patient at risk for contract an HIV infection will contract the infection are other aspects of the present invention.

AN 2004:513490 HCAPLUS <<LOGINID::20081027>>
DN 141:65057

TI Dioxolane thymine and combinations for use against 3TC/AZT resistant strains of HIV

IN Chu, Chung K.; Schinazi, Raymond F.
PA The University of Georgia Research Foundation, Inc., USA; Emory University

SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA English

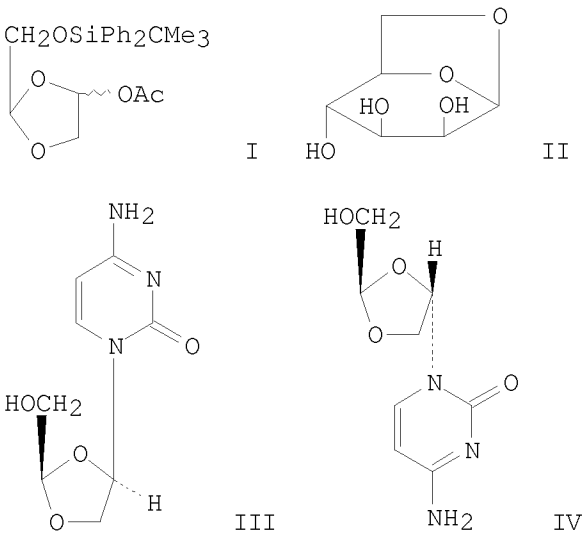
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004052296	A2	20040624	WO 2003-US39029	20031208 <--
	WO 2004052296	A3	20040923		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2502625	A1	20040624	CA 2003-2502625	20031208 <--
	AU 2003296360	A1	20040630	AU 2003-296360	20031208 <--
	EP 1569659	A2	20050907	EP 2003-812874	20031208 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003017113	A	20051025	BR 2003-17113	20031208 <--
	CN 1723025	A	20060118	CN 2003-80105479	20031208 <--
	US 20050209196	A1	20050922	US 2005-530088	20050401 <--
	MX 2005PA03637	A	20050816	MX 2005-PA3637	20050405 <--
	IN 2005KN00698	A	20060224	IN 2005-KN698	20050421 <--
PRAI	US 2002-431812P	P	20021209 <--		

WO 2003-US39029 W 20031208
OS MARPAT 141:65057

L7 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Substrate specificity of Escherichia coli thymidine phosphorylase for pyrimidine nucleosides with anti-human immunodeficiency virus activity
AB Various nucleoside antiviral agents and their metabolites were examined for their ability to be cleaved across the glycosidic bond by Escherichia coli thymidine phosphorylase. The increasing order of susceptibility to cleavage was U > T » C derivs. Nucleosides that were unsatd. in the sugar moiety were more susceptible than saturated ones. 3'-Deoxy-2',3'-didehydrothymidine was a substrate, whereas 3'-azido-, 3'-fluoro-, 3'-oxo- and 3'-thiapyrimidine nucleosides were resistant to this enzyme.
AN 1992:563325 HCAPLUS <<LOGINID::20081027>>
DN 117:163325
OREF 117:27979a,27982a
TI Substrate specificity of Escherichia coli thymidine phosphorylase for pyrimidine nucleosides with anti-human immunodeficiency virus activity
AU Schinazi, Raymond F.; Peck, Annette; Sommadossi, Jean Pierre
CS Sch. Med., Emory Univ., Atlanta, GA, 30322, USA
SO Biochemical Pharmacology (1992), 44(2), 199-204
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English

L7 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Asymmetric synthesis of 1,3-dioxolane-pyrimidine nucleosides and their anti-HIV activity.
GI



AB In order to study the structure-activity relationships of dioxolane nucleosides as potential anti-HIV agents, various enantiomerically pure dioxolane-pyrimidine nucleosides were synthesized and evaluated against HIV-1 in human peripheral blood mononuclear cells. The enantiomerically pure key intermediate I was synthesized in 9 steps from 1,6-anhydro-D-mannose (II), which was condensed with 5-substituted

pyrimidines to obtain various dioxolane-pyrimidine nucleosides. Upon evaluation of these compds., cytosine derivative III was found to exhibit the most potent anti-HIV agent although it is the most toxic. The order of anti-HIV potency was as follows: cytosine (β-isomer) > thymine > cytosine (α-isomer) > 5-chlorouracil > 5-bromouracil > 5-fluorouracil derivs. Uracil, 5-methylcytosine, and 5-iodouracil derivs. were found to be inactive. Interestingly, α-isomer IV showed good anti-HIV activity without cytotoxicity. As expected, other α-isomers did not exhibit any significant antiviral activity. (-)-Dioxolane-T was 5-fold less effective against AZT-resistant virus than AZT-sensitive virus.

AN 1992:255957 HCAPLUS <<LOGINID::20081027>>
DN 116:255957
OREF 116:43419a,43422a
TI Asymmetric synthesis of 1,3-dioxolane-pyrimidine nucleosides and their anti-HIV activity.
AU Kim, Hea O.; Ahn, Soon K.; Alves, Antonio J.; Beach, J. Warren; Jeong, Lak S.; Choi, Bo G.; Van Roey, Patrick; Schinazi, Raymond F.; Chu, Chung K.
CS Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA
SO Journal of Medicinal Chemistry (1992), 35(11), 1987-95
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 116:255957